APPLN. FILING DATE: OCTOBER 9, 2001

TLE: LE-ACID CONJUGATES FOR PROVIDING SU

SYSTEL CONCENTRATIONS OF DRUGS

INVENTER(S): CUNDY, ET AL.

APPLICATION SERIAL NO: 09/974,768

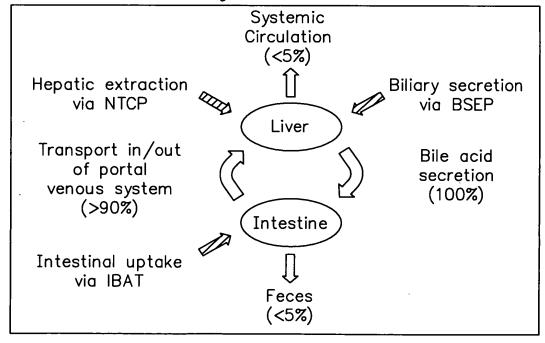


1/5

FIG. 1

FIG. 2

The Enterohepatic Circulation with Key Transporter Proteins Mediating Bile Acid Circulation



TITLE: PILE-ACID CONJUGATES FOR PROVIDING SUSPAINED SYSTEM ONCENTRATIONS OF DRUGS

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SHEET 2 of 5

FIG. 3

2/5

Bile Acid Conjugates of HMG-CoA Reductase Inhibitor

FIG. 5

1. CICO₂Et/NEt₃

3. DBU/DMF

(6) 2. (11) 3. NaOH/MeOH (9)

(8)
$$\frac{1. \text{ CICO}_2\text{Et/NEt}_3}{2. \text{ H}_2\text{N} \text{ CO}_2\text{H}}$$
(3)

HO,,,,,OH (12)

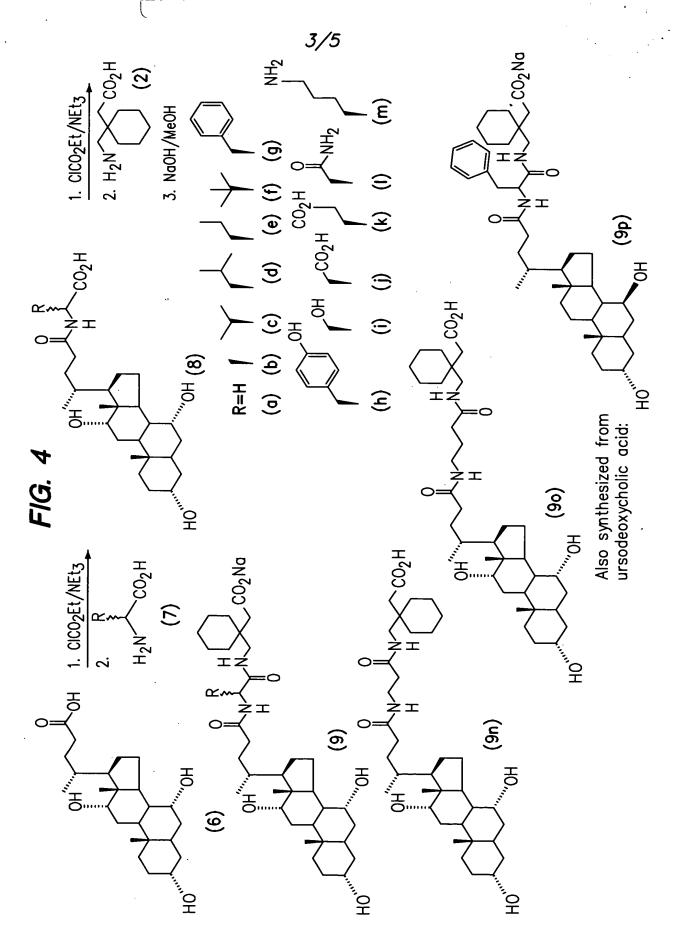
3. NaOH/MeOH

APPLN. FILING DATE: OCTOBER 9, 2001

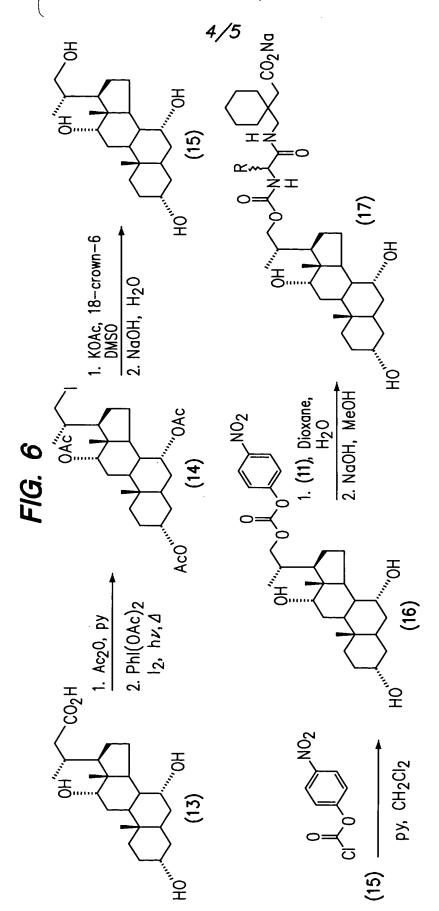
TITLE: BILE-ACID CONJUGATES FOR PROVIDING SUSTAINED

SYSTE CONCENTRATIONS OF DRUGS INVEN. (s): CUNDY, ET AL.
APPLICATION SERIAL NO: 09/974,768

SHEET 3 of 5



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SHEET 4 of ST SHEET 4 of 5



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E-ACID CONJUGATES FOR PROVIDING SUSTAINED

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5/5

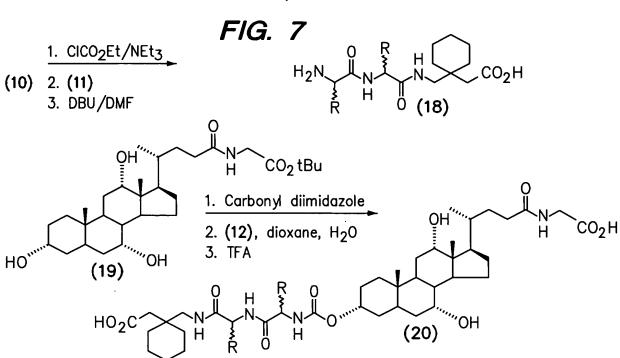


FIG. 8

CBzNH
$$CO_2$$
H $\frac{1. CICO_2Et/NEt_3}{2. (2), H_2O}$ CBzNH $\frac{R}{N}$ CO_2 H CO_2 H

1. $2,4,6-Cl_3C_6H_2C(0)Cl$ (22) Et₃N, DMAP

3. Na⁺ exchange resin H₂O/MeOH